

Day : Thursday

Date: 1/6/2005

Time: 16:03:50

PALM INTRANET**Inventor Information for 10/734882**

Inventor Name	City	State/Country
CHILDERS, WAYNE E.	NEW HOPE	PENNSYLVANIA
KELLY, MICHAEL G.	THOUSAND OAKS	CALIFORNIA
SCHECHTER, LEE E.	TOMS RIVER	NEW JERSEY
ROSENZWEIG-LIPSON, SHARON J.	EAST BRUNSWICK	NEW JERSEY

[Appn Info](#) [Contents](#) [Petition Info](#) [Atty/Agent Info](#) [Continuity Data](#) [Foreign Data](#)

Search Another: Application# or Patent# PCT / / or PG PUBS # Attorney Docket # Bar Code #

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Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	352	514/255.03	USPAT	OR	OFF	2005/01/06 15:50
L2	7960	\$serotonin\$	USPAT	OR	OFF	2005/01/06 15:50
L3	72	I1 and I2	USPAT	OR	OFF	2005/01/06 15:50

16-17 16-21 17-18 18-19 19-20 20-21
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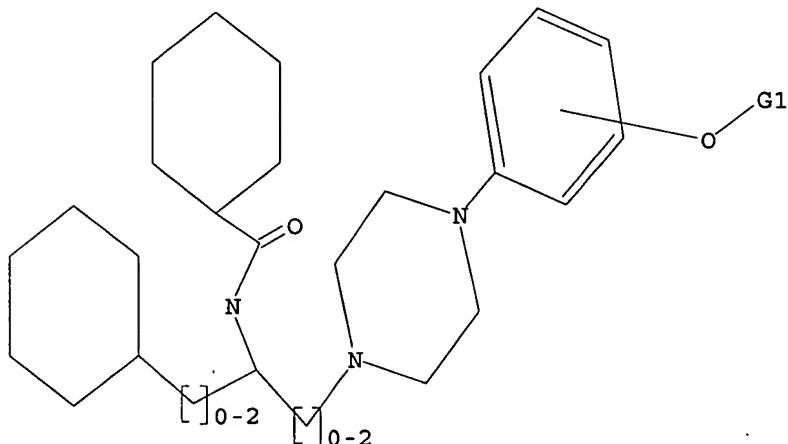
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Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:CLASS
 29:CLASS 30:CLASS 31:CLASS 33:CLASS 34:CLASS

L1 STRUCTURE UPLOADED

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 L1 STR



G1 Me,Et

Structure attributes must be viewed using STN Express query preparation.

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 SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS 0 ANSWERS
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11 ANSWERS

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                               ENTRY          SESSION
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FILE 'CAPLUS' ENTERED AT 15:40:25 ON 06 JAN 2005
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FILE COVERS 1907 - 6 Jan 2005 VOL 142 ISS 2
FILE LAST UPDATED: 5 Jan 2005 (20050105/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4          3 L3
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Our work

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:832771 CAPLUS

DOCUMENT NUMBER: 137:337919

TITLE: Preparation of piperazines as serotonin 5-HT1A receptor antagonists with long duration of in vivo effects and as radioligands for binding studies

CHILDERS, Wayne Everett; KELLY, Michael Gerard; SCHAFFTER, Lee Erwin; ROSENZWEIG-LIPSON, Sharon Joy

INVENTOR(S):

PATENT ASSIGNEE(S): Myeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 21 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

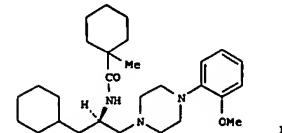
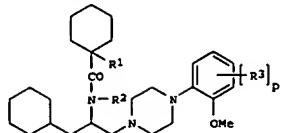
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085871	A2	20021031	WO 2002-US10274	20020402
WO 2002085871	A3	20030220		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LX, LR, LS, LT, LU, LV, MA, MD, MG, MK, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW	AM, AZ, BY, KZ, MD, RU, TJ,			
TM				
RN: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZN, AT, BR, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BP, BJ, CF, CO, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
US 2003191135	A1	20031009	US 2002-114577	20020402
US 6696450	B2	20040224		
US 2004127505	A1	20040701	US 2003-734882	20031212
PRIORITY APPLN. INFO.:			US 2001-281542P	P 20010404
			US 2002-114577	A3 20020402

OTHER SOURCE(S): MARPAT 137:337919

GI

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. I [R1, R2 = H, alkyl; R3 = radiolabel, e.g., 3H, 13C, 14C etc.; p = 0, 1] and their pharmaceutically acceptable salts were prepared.

For example, coupling of [(1R)-1-cyclohexylmethyl-2-[(4-(2-methoxyphenyl)-1-piperazinylmethyl-1-yl)ethyl]amine and 1-methylcyclohexanecarboxylic acid chloride provided claimed piperazine II in 63 % yield. In human serotonin 5-HT1A receptor binding assays, compound II exhibited an IC50 value of 1.23 nM. Compds. I have a long duration of action with half-lives measured by days rather than hours, making them useful for the treatment of chronic diseases resulting from the dysfunction of the serotonergic 5-HT1A system. Also, radiolabeling of compds. I are claimed useful as ligands for binding studies, for *in vitro* and *in vivo* imaging

of cells and for use in positron emission topog. (PET) studies in mammals.

IT 252894-71-6 474013-04-29 474013-09-79

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

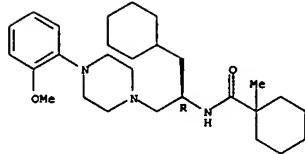
(Uses) (drug candidate; preparation of piperazines as serotonin 5-HT1A receptor antagonists with long duration of in vivo effects and as radioligands for binding studies)

RN 252894-71-6 CAPLUS

CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

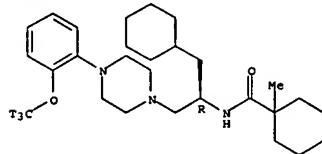


RN 474013-04-2 CAPLUS

CN Cyclohexanecarboxamide,

N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

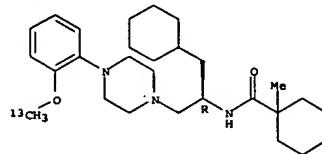


RN 474013-09-7 CAPLUS

CN Cyclohexanecarboxamide,

N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 474013-12-2 CAPLUS

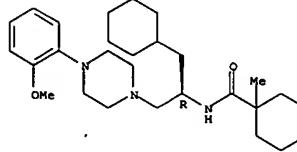
CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-

piperazinyl)methyl]ethyl]-1-methyl-, monohydrochloride, monohydrate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Habte

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

● H2O

01/06/2005

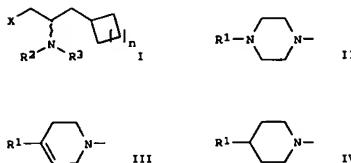
L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:309881 CAPLUS

DOCUMENT NUMBER: 136:325567

TITLE: Preparation of cycloalkyl-substituted aryl-piperazines, piperidines and tetrahydropyridines as serotonergic agents
INVENTOR(S): Childers, Wayne E.; Kelly, Michael G.; Palmer, Yvette L.; Podlesny, Edward J.
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: U.S., 13 pp., Cont.-in-part of U.S. Ser. No. 333,156, abandoned
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

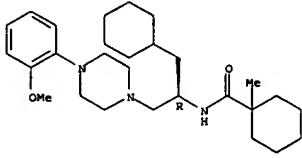
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US 6376494	B1	20020423	US 2000-723478	20001128
US 2002156075	A1	20021024	US 2002-107866	20020327
US 6518272	B2	20030211		
US 2002139449	A1	20030724	US 2003-340087	20030110
			US 1998-135107P	P 19980615
			US 1999-333158	B2 19990614
			US 2000-723478	A3 20001128
			US 2002-107866	A3 20020327

PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 136:325567
GI



AB The title compds. [I; X = II-IV; n = 1-5; R1 = (un)substituted C6-10-aryl or mono or bicyclic heteroaryl, with a proviso that heteroaryl is not thiadiazole; R2 = H, alkyl; R3 = H, COR5, CO2R5, CONR5R6; R4 = H, alkyl, alkenyl, etc.; R5, R6 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts which have activity as 5-HT1A agonists

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

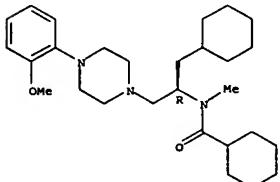


● HCl

RN 252894-56-7 CAPLUS

CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 252894-57-8 CAPLUS

CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-N,1-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
and antagonists and therefore may be useful for the treatment of anxiety and depression, were prepd. Thus, reacting

(1R)-1-cyclohexylmethyl-2-[4-(2-methoxyphenyl)piperazin-1-yl]ethylamine with cyclohexanecarboxylic acid chloride in the presence of Et3N in CH2Cl2 afforded 85% (1R)-1-2HCl [X = II; (R1 = 2-MeOC6H4); n = 3; R2 = H; R3 = cyclohexylcarbonyl] which showed IC50 of 0.57 nM against 5-HT1A receptor binding.

IT 252894-54-5P 252894-55-6P 252894-56-7P

252894-57-8P 252894-70-5P 252894-71-6P

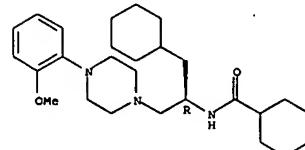
RL PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cycloalkyl-substituted aryl-piperazines, piperidines and tetrahydropyridines as serotonergic agents)

RN 252894-54-5 CAPLUS

CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



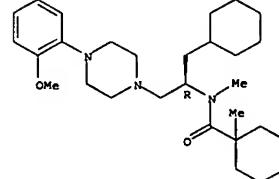
● 2 HCl

RN 252894-55-6 CAPLUS

CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-1-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

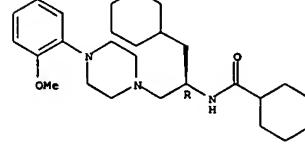


● 2 HCl

RN 252894-56-7 CAPLUS

CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]- (9CI) (CA INDEX NAME)

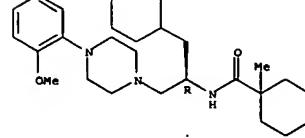
Absolute stereochemistry.



RN 252894-71-6 CAPLUS

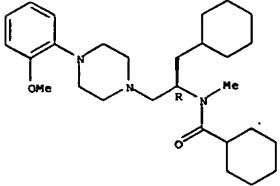
CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



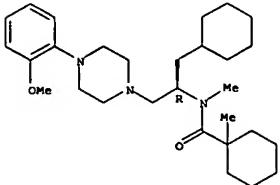
L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 252894-72-7 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252894-73-8 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-N,1-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



AB The title compds. [I; X = II-IV; n = 1-5; R1 = C6-10-aryl or mono or bicyclic heteroaryl, with a proviso that heteroaryl is not thiadiazole; R2

R3 = H, alkyl; R4 = H, COR5, COR6, CONR5R6; R5 = H, alkyl, alkenyl, etc.; R6 = H, alkyl, alkenyl, etc.) and their pharmaceutically acceptable salts which have activity as 5-HT1A agonists and antagonists and therefore may be useful for the treatment of anxiety, depression, cognitive deficits, prostate cancer, nausea, and vomiting, were prepared. Thus, reacting [(1R)-1-cyclohexylmethyl-2-[(4-(2-methoxyphenyl)piperazin-1-yl)ethyl]amine with cyclohexanecarboxylic acid chloride in the presence

of Et3N in CH2Cl2 afforded 85% (1R)-1,2HCl [X = II (R1 = 2-MeOC6H4); n = 3; R2 = H; R3 = cyclohexylcarbonyl] which showed IC50 of 0.57 nM against 5-HT1A receptor binding.

IT 252894-54-5P 252894-53-6P 252894-56-7P

252894-57-8P 252894-70-5P 252894-71-6P

252894-72-7P 252894-73-8P

R1: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of cycloalkyl-substituted aryl-piperazines, piperidines and tetrahydropyridines as serotoninergic agents)

RN 252894-54-5 CAPLUS

CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

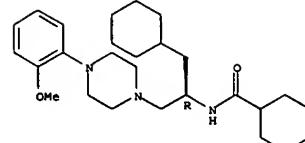
Absolute stereochemistry.

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1999:811224 CAPLUS
 DOCUMENT NUMBER: 132:49981
 TITLE: Preparation of cycloalkyl-substituted aryl-piperazines, piperidines and tetrahydropyridines as serotoninergic agents
 INVENTOR(S): Childers, Wayne Everett; Kelly, Michael Gerard; Palmer, Yvette Latko; Podlesny, Edward James
 PATENT ASSIGNEE(S): American Home Products Corporation, USA
 SOURCE: PCT Int. Appl., 32 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965887	A1	19991223	WO 1999-US13397	19990614
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EP 1087954	A1	20010404	EP 1999-928648	19990614
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JP 2002518382	T2	20020625	JP 2000-554713	19990614
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OTHER SOURCE(S): MARPAT 132:49981
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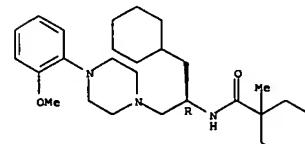
L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



●2 HCl

RN 252894-55-6 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-1-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

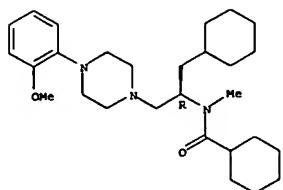


● HCl

RN 252894-56-7 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

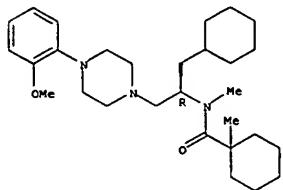
L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



●2 HCl

RN 252894-57-8 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-N,1-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

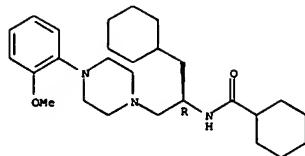


●2 HCl

RN 252894-70-5 CAPLUS
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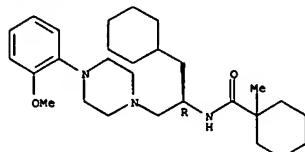
Absolute stereochemistry.

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



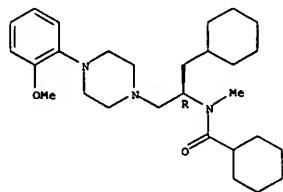
RN 252894-71-6 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252894-72-7 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-N-methyl- (9CI) (CA INDEX NAME)

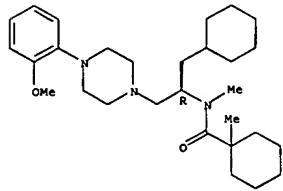
Absolute stereochemistry.



RN 252894-73-8 CAPLUS

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-N,1-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT